

E-5324

Catalog No: tcsc0014924

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:

141799-76-0

Formula:

 $C_{26}H_{34}N_4O_2$

Pathway: Metabolic Enzyme/Protease

Target:

Acyltransferase

Purity / Grade:

Solubility: 10 mM in DMSO

Observed Molecular Weight:

434.57

Product Description

E-5324 is potent inhibitor of acyl-CoA:cholesterol acyltransferase (**ACAT**) with **IC**₅₀s of 44 to 190 nM.

IC50 & Target: IC50: 44 to 190 nM (ACAT)^[1]

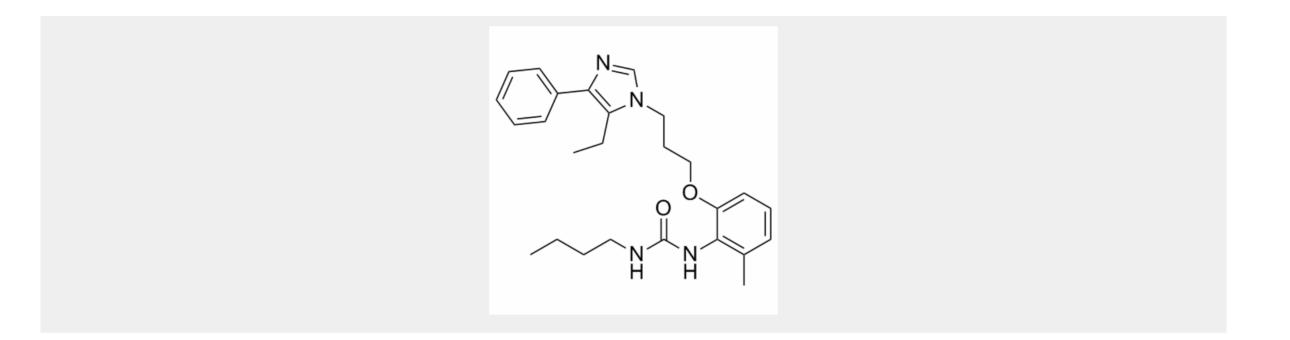
In Vitro:

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E-5324 is a potent ACAT inhibitor with IC₅₀s of 44 to 190 nM in microsomes. E-5324 shows no effect on triglyceride synthesis up to 10 μ M. E-5324 also has no effect on bovine pancreatic cholesterol esterase or lecithin: cholesterol acyltransferase (LCAT) up to 10 μ M. E-5324 inhibits the incorporation of [³H]oleate into cholesteryl [³H]oleate in a concentration-dependent manner with an IC₅₀ of 0.44 μ M. E-5324 also inhibits [³H]cholesteryl ester synthesis with an IC₅₀ of 0.41 μ M^[1].

In Vivo: The areas under the cholesterol-time curves for duration of this study (AUC) for control, E-5324 0.02% and E-5324 0.1% are 104985±4411, 106096±4476 and 105231±4 348 mg×day/dL, respectively. The high dose of E-5324 (0.1%) significantly reduces the surface involvement by 34% and 54% in the aortic arch and thoracic aorta, respectively. E-5324 treatment significantly reduces the wet weight and protein content. In the aortic arch, the high dose of E-5324 (0.1%) significantly reduces both cholesteryl ester and total cholesterol by 60% and 59%, respectively. The high dose of E-5324 (0.1%) markedly reduces the ACAT activities in the aortic arch and thoracic aorta by 35% and 44%, respectively^[2].



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